

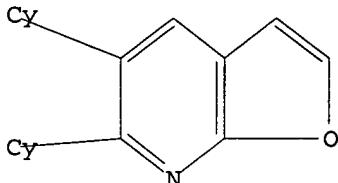
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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:964996 CAPLUS  
 DN 141:406037  
 TI Heterocyclic compound inhibitors of Akt kinase activity, and use for the treatment of cancer  
 IN Bilodeau, Mark T.; Wu, Zhicai  
 PA Merck & Co., Inc., USA  
 SO PCT Int. Appl., 62 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

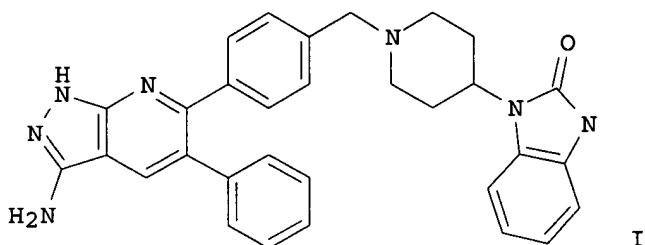
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PI	WO 2004096130	A2	20041111	WO 2004-US12187	20040420
	WO 2004096130	A3	20050407		
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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
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 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
 TD, TG

PRAI US 2003-465123P P 20030424

OS MARPAT 141:406037

GI



AB The invention discloses compds. which contain a five-membered heterocyclic ring fused to a substituted pyridine moiety which inhibit the activity of Akt, a serine/threonine protein kinase. The invention further discloses chemotherapeutic compns. containing the compds. of the invention and methods for treating cancer comprising administration of the compds. of the invention. Preparation of compds., e.g. I, is described.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:120677 CAPLUS

DN 140:163855

TI Preparation of substituted furo[2,3-b]pyridines as antagonists and/or inverse agonists of cannabinoid-1 receptor with therapeutic uses

IN Toupence, Richard B.; Debenham, John S.; Goulet, Mark T.; Madsen-Duggan, Christina B.; Walsh, Thomas F.; Shah, Shrenik K.

PA Merck &amp; Co., Inc., USA

SO PCT Int. Appl., 208 pp.

CODEN: PIXXD2

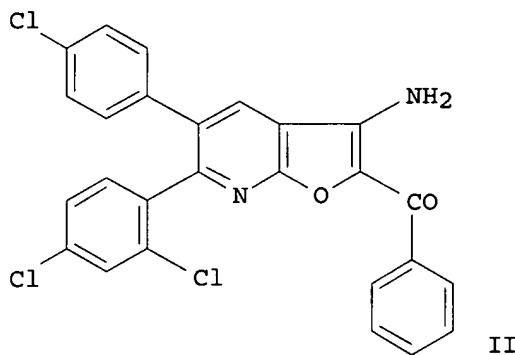
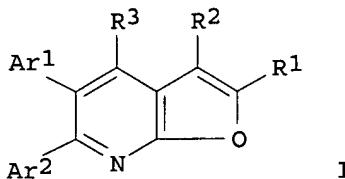
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004012671	A2	20040212	WO 2003-US24280	20030801
	WO 2004012671	A3	20050609		
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 CA 2494091 AA 20040212 CA 2003-2494091 20030801  
 EP 1558252 A2 20050803 EP 2003-767117 20030801  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 PRAI US 2002-400852P P 20020802  
 US 2003-456332P P 20030320  
 WO 2003-US24280 W 20030801  
 OS MARPAT 140:163855  
 GI



AB Novel fuopyridines (shown as I; variables defined below; e.g. II) are antagonists and/or inverse agonists of the cannabinoid-1 (CB1) receptor and are useful in the treatment, prevention and suppression of diseases mediated by the CB1 receptor. The compds. of the present invention are useful as centrally acting drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia. The compds. are also useful for the treatment of substance abuse disorders, the treatment of obesity or eating disorders, as well as the treatment of asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver. Although the methods of preparation are not claimed, .apprx.200 example prepsns. are included. For example, II was prepared in 3 steps starting by condensing 4-chlorobenzyl 2,4-dichlorophenyl ketone with DMF di-Me acetal in DMF to give 3-dimethylamino-1-(2,4-dichlorophenyl)-2-(4-chlorophenyl)prop-2-en-1-one followed by cyclocondensation with 2-cyanoacetamide and methanol in DMF to give 6-(2,4-dichlorophenyl)-5-(4-chlorophenyl)-2-oxo-1,2-dihydropyridine-3-nitrile followed by cyclization with 2-chloroacetophenone and Cs<sub>2</sub>CO<sub>3</sub> in DMF. For I: R1 = C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>2-10</sub>alkynyl, -CN, -COR<sub>4</sub>, -S(O)mR<sub>4</sub>, -S(O)2NH(CO)nNRe, cycloheteroalkyl, aryl, and heteroaryl; R2 = H, -NR<sub>5</sub>R<sub>6</sub>, -COR<sub>4</sub>, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, aryl, arylC<sub>1-6</sub>alkyl, arylC<sub>2-6</sub>alkenyl, heteroaryl, heteroarylC<sub>1-6</sub>alkyl, heteroarylC<sub>2-6</sub>alkenyl, cycloheteroalkyl, hydroxy, and OR<sub>5</sub>; R3 = H, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkyloxy, trifluoromethyl,

trifluoromethoxy, halo, and C3-7cycloalkyl; Ar1 and Ar2 = aryl, heteroaryl; addnl. details are given in the claims. CB1 antagonist/inverse agonist compds. I have IC50s of <1  $\mu$ M in the CB 1 binding assay; selective CB 1 antagonist/inverse agonist compds. have IC50s 100-fold greater in the CB2 binding assay than in the CB1 assay, and generally have IC50s of  $\geq$ 1  $\mu$ M in the CB2 binding assay. CB1 antagonist/inverse agonist compds. I generally have EC50s of <1  $\mu$ M in the CB1 functional assay and selective CB1 antagonist/inverse agonists generally have EC50s of >1  $\mu$ M in the CB2 functional assay. IC50 and/or EC50 values are not given for specific examples of I.

=> log y			
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION	
FULL ESTIMATED COST	7.64	169.45	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION	
CA SUBSCRIBER PRICE	-1.46	-1.46	

STN INTERNATIONAL LOGOFF AT 13:16:26 ON 03 DEC 2005